Figure 1

Figure 2

Entr	y Starting Material	Product	Yield [%]
1	O N Me OH H Me	MeO ₂ C N-S	Me 89 ^[a]
2	20 NH HN MeO ₂ C	21 -N N O O O N S N CO	₂ Me 55 ^[b]
3	OH NH ₂	N CO ₂ Me S=0 H 0	45 ^[c]
4	OH NH ₂	$N-CO_2Me$ $N-S=0$ $H O$	90 ^[d]
	26	27	

[a] THF, Δ , 21 h; [b] THF, Δ , 8 h; [c] 0 °C, 1 h, then 25 °C, 5 h; [d] THF, Δ , 2 h.

Figure 3

$$R^{1}$$
 R^{2}
 R^{3}
 R^{4}
 R^{2}
 R^{3}

Entry	Starting Material	Product	Yield [%]
1	H ₂ N OH	O O HN S N-CO ₂ Me	62
2	$ \begin{array}{c} \text{Me} & \text{Me} \\ \text{H}_2\text{N} & \text{OH} \\ \end{array} $	Me Me 31	39
3	H ₂ N — — — — — — — — — — — — — — — — — — —	O O CO ₂ Me	34
4	H_2N OH 34	ON CO ₂ Me	42
5	H ₂ N OH Ph 36	O O HN S N CO₂Me	90 ^[a]
6	H₂N OH Ph Ph 38	ON CO ₂ Me Ph Ph 39	76 ^[a]

[a] 0 °C, 1 h, then 25 °C, 5 h.

Figure 4

	R ² Burgess π	eagent (1) R ² H N, 2 h R ¹ N S N CO ₂ M	le
Entry	Starting Material	Product Y	ield [%]
1	NH ₂	H H CO ₂ Me	83
2	N. Me	O O CO ₂ Me N S N CO ₂ Me Me 43	91
3	() NH	$ \begin{pmatrix} & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & $	82
4	NH O NH 46	$ \begin{array}{c} O O \\ N S N \end{array} $ $ \begin{array}{c} CO_2Me \\ H \end{array} $ 47	87
5	S NH 48	$\begin{array}{c} O & O \\ S & N & S \\ N & N \end{array} \begin{array}{c} CO_2 Me \\ 49 \end{array}$	73
6	MeO 50	MeO S1	97
7	NC NH ₂	NC N	66
8	OMe MeO NH ₂	0Me H H H N CO₂Me	98 ^[a]
[a] -10	to 25 °C, 24 h.		

Figure 5

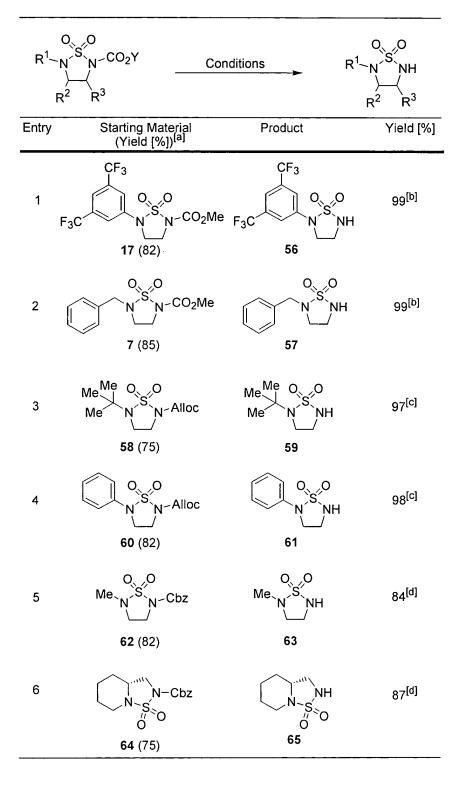
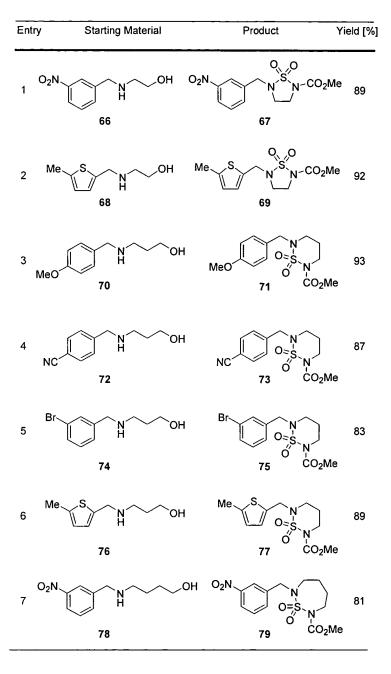


Figure 6



Preparation of starting substrates:

$$R \xrightarrow{\text{II}} O + H_2 N \xrightarrow{\text{OH}} OH \xrightarrow{\text{toluene}} R \xrightarrow{\text{II}} N \xrightarrow{\text{N}} OH \xrightarrow{\text{N}} R \xrightarrow{\text{II}} N \xrightarrow{\text{N}} OH \xrightarrow{\text{N}} N \xrightarrow{\text{N}} OH \xrightarrow{\text{N}} N \xrightarrow{\text{N}} OH \xrightarrow{\text{N}} N \xrightarrow{\text{N}} OH \xrightarrow{\text{N}} N \xrightarrow{\text{N}}$$

Figure 7